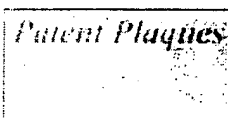

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**WO9819679A1:**

## CYCLOPENTYLXANTHINE DERIVATIVES FOR USE IN THE TREATMENT OF CYSTIC FIBROSIS

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Country: **WO** World Intellectual Property Organization (WIPO)

Kind: **A1** Publ.OF the Int.Appl. with Int.Search Report

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Issued/Filed Dates: **May 14, 1998 / Nov. 5, 1997**

Application Number: **WO1997GB0003036**

IPC Class: **A61K 31/52;**

ECLA Code: **A61K31/52L5; C07D473/06;**

Priority Number(s): **Nov. 5, 1996 GB1996000229810**

Legal Status:  [Show legal status actions](#)

Designated Countries: **AU, CA, US**

Abstract: **The use of derivatives of theophylline for the preparation of**

medicaments suitable for the treatment of Cystic Fibrosis is described. In particular, the use of 8-cyclopentyl theophylline (CPT) is described for the preparation of medicaments for the treatment of cystic fibrosis. Such medicaments may be administered orally or directly to the lung, for example, in the form of an aerosol.  
[Show "fr" Abstract]

Attorney, Agent, or  
Firm:  
Family:

**NEWELL, William, Joseph;**



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Other Abstract Info:

CHEMABS 129(02)019682C CAN129(02)019682C DERABS C98-286578  
DERC98-286578

Foreign References:

(No patents reference this one)



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administration.

4. The use according to Claim 6 wherein the medicament  
15 administered in an amount of 0.001 to 25 mg/kg body  
per day.

5. The use according to Claim 1 or Claim 2 wherein  
medicament is suitable for administering directly  
lung.

20 6. The use according to Claim 5 wherein the medicament  
is a pharmaceutically acceptable aerosol.

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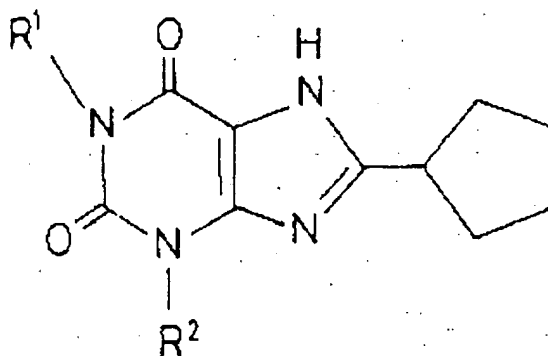
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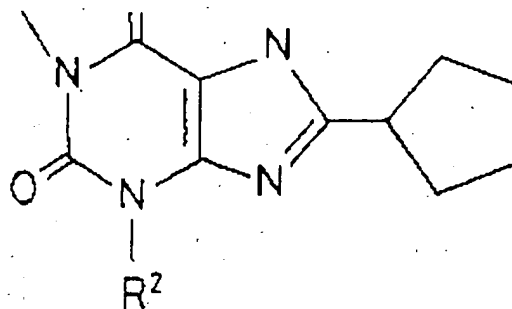
Claims

1. The use of a compound of formula (1),



wherein R<sup>1</sup> and R<sup>2</sup> are the same or different and  
5 represents an alkyl group having from 1 to 5 carbon  
provided that R<sup>1</sup> and R<sup>2</sup> are not both propyl groups,  
preparation of a medicament for the treatment of  
fibrosis.

2. The use according to Claim 1 of a compound of  
10 (1) wherein R<sup>1</sup> and R<sup>2</sup> are both methyl groups.
3. The use according to Claim 1 or Claim 2 where  
medicament is suitable for oral or parenteral



wherein  $R^1$  and  $R^2$  are the same or different and  
represents an alkyl group having from 1 to 5 carbon

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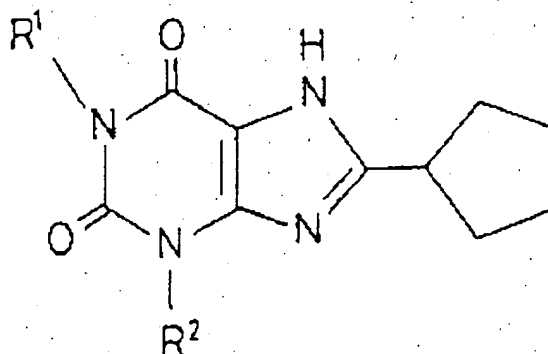
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7. The use according to Claim 6 wherein the contains from 0.001 to 0.01% w/w of the compound of (1).

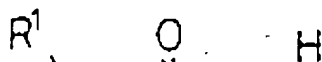
8. A compound of formula (1)

5



wherein  $R^1$  and  $R^2$  are the same or different a represents an alkyl group having from 1 to 5 carbon provided  $R^1$  and  $R^2$  are not both methyl groups or groups.

10 9. A pharmaceutical formulation comprising a compound of formula (1)





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provided  $R^1$  and  $R^2$  are not both propyl groups, together with a pharmaceutically acceptable carrier therefor.

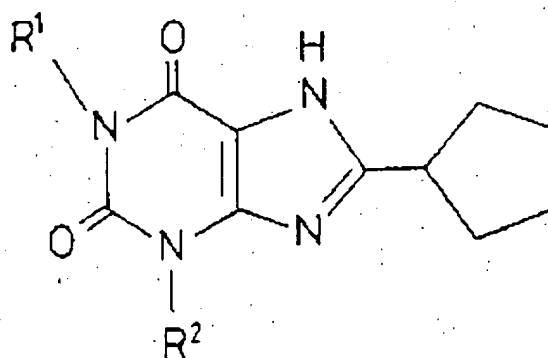
10. The formulation according to Claim 9 which is for oral administration.

5 11. The formulation according to Claim 9 which is for administration direct to the lung.

12. The formulation according to Claim 11 which is in the form of a pharmaceutically acceptable aerosol.

13. The formulation according to Claim 9 which is for parenteral administration.

14. A method of treating cystic fibrosis comprising administering a compound of formula (1)



where  $R^1$  and  $R^2$  are the same or different and each represents an alkyl group having from 1 to 5 carbon atoms provided

15  $R^1$  and  $R^2$  are the same or different and each represents

15 R and R' are the same or different and each represents an alkyl group having from 1 to 5 carbon atoms provided R and R' are not both propyl groups.

15. The method of claim 14 wherein the compound of (1) is administered orally.

20 16. The method of claim 14 wherein the compound of (1) is administered intranasally.

17. The method of claim 14 wherein the compound of (1) is administered parenterally.

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